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## PATENT COOPERATION TREATY

PCT/JP2003/014793



## PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 3123WO0P	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP2003/014793	International filing date (day/month/year) 20 November 2003 (20.11.2003)	Priority date (day/month/year) 22 November 2002 (22.11.2002)
International Patent Classification (IPC) or national classification and IPC C07D 401/04, 401/06, 401/14, 487/04, 513/04, A61K 31/4188, 31/429, 31/437, 31/454, 31/4985, 31/55, 31/455, A61P 7/02, 9/00, 9/10, 43/00		
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 7 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of \_\_\_\_\_ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand 17 December 2003 (17.12.2003)	Date of completion of this report 30 August 2004 (30.08.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP2003/014793

## I. Basis of the report

### 1. With regard to the elements of the international application:\*

- ☒ the international application as originally filed
- ☐ the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the claims:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, as amended (together with any statement under Article 19  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the drawings:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

### 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

- These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:
- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

### 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

### 4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

### 5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

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## III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 27-29

because:

☒ the said international application, or the said claims Nos. 27-29  
relate to the following subject matter which does not require an international preliminary examination (*specify*):

**See supplemental sheet**

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. \_\_\_\_\_  
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. \_\_\_\_\_  
by the description that no meaningful opinion could be formed. \_\_\_\_\_ are so inadequately supported

☒ no international search report has been established for said claims Nos. 27-29

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

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Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: III.1

Claims 27 to 29 pertain to methods for the treatment of the human body by therapy, and thus relate to a subject matter for which this International Preliminary Examining Authority is not required to carry out an international preliminary examination under the provisions of PCT Article 34(4)(a)(i) and PCT Rule 67.1(iv).

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## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Claims	4, 10, 12-18, 20, 22-25, 30-32	YES
	Claims	1-3, 5-9, 11, 19, 21, 26	NO
Inventive step (IS)	Claims	4, 10, 12-18, 20, 22-25, 30-32	YES
	Claims	1-3, 5-9, 11, 19, 21, 26	NO
Industrial applicability (IA)	Claims	1-26, 30-32	YES
	Claims		NO

### 2. Citations and explanations

- Document 1: WO 02/68407 A1 (Yamanouchi Pharmaceutical Co., Ltd.), 06 September 2002
- Document 2: WO 02/57223 A2 (Les Laboratoires Servier), 25 July 2002
- Document 3: WO 01/17992 A1 (Merck & Co., Inc.), 15 March 2001
- Document 4: WO 95/00507 A1 (The Boots Co., PLC), 05 January 1995
- Document 5: US 4695575 A (Jansen Pharmaceutica N. V.), 22 September 1987

Document 1 cited in the international search report discloses compounds which correspond to the compounds that are set forth in claims 1, 3, 5, 6, 8, 9, 11 and 19 (refer to examples 99 to 101).

Document 2 cited in the international search report discloses compounds which correspond to the compounds that are set forth in claims 1, 3, 5, 6, 9, 11 and 19 (refer to example 18).

Document 3 cited in the international search report discloses compounds which correspond to the compounds that are set forth in claims 1, 5 to 7, 9 and 11 (refer to examples 76, 90 and 104).

Document 4 cited in the international search report

discloses compounds which correspond to the compounds that are set forth in claims 1, 3, 5, 6, 8, 9 and 11 (refer to example 86).

Document 5 cited in the international search report discloses compounds which correspond to the compounds that are set forth in claims 1, 3, 5, 6, 8, 9, 11 and 19 (refer to example 39, no. 129 and 130 and the like).

Claims 1 to 3, 5 to 9, 11, 19, 21 and 26

The inventions that are set forth in claims 1 to 3, 5 to 9, 11, 19, 21 and 26 are disclosed in documents 1 to 5 cited in the international search report, as indicated above; therefore, the inventions in question lack novelty and do not involve an inventive step.

Claims 4, 10, 12 to 18, 20, 22 to 25 and 30 to 32

The inventions that are set forth in claims 4, 10, 12 to 18, 20, 22 to 25 and 30 to 32 are not disclosed or suggested in any of documents 1 to 5 indicated above; therefore, the inventions in question are novel and involve an inventive step.

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VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claim 2

Claim 2 of the present application sets forth  
"prodrugs of the compounds that are set forth in claim 1."  
However, it is not clear from the disclosure in question  
specifically what types of compounds are included within  
the scope thereof.